

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
13 November 2003 (13.11.2003)

PCT

(10) International Publication Number
WO 03/093252 A1

(51) International Patent Classification⁷: **C07D 285/14**,
417/04, 417/14, A61K 31/433, A61P 25/28

Road, Harlow, Essex CM20 2QR (GB). **RIDGILL, Mark, Peter** [GB/GB]; Terlings Park, Eastwick Road, Harlow, Essex CM20 2QR (GB).

(21) International Application Number: PCT/GB03/01763

(22) International Filing Date: 24 April 2003 (24.04.2003)

(74) Agent: **BUCHAN, Gavin, MacNicol**; Merck & Co., Inc., European Patent Department, Terlings Park, Eastwick Road, Harlow, Essex CM20 2QR (GB).

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0209996.8 1 May 2002 (01.05.2002) GB
0223873.1 14 October 2002 (14.10.2002) GB
60/424,608 7 November 2002 (07.11.2002) US

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except US*): **MERCK SHARP & DOHME LIMITED** [GB/GB]; Hertford Road, Hoddesdon, Hertfordshire EN11 9BU (GB).

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **COLLINS, Ian, James** [GB/GB]; Terlings Park, Eastwick Road, Harlow, Essex CM20 2QR (GB). **COOPER, Laura, Catherine** [GB/GB]; Terlings Park, Eastwick Road, Harlow, Essex CM20 2QR (GB). **HARRISON, Timothy** [GB/GB]; Terlings Park, Eastwick Road, Harlow, Essex CM20 2QR (GB). **KEOWN, Linda, Elizabeth** [GB/GB]; Terlings Park, Eastwick Road, Harlow, Essex CM20 2QR (GB). **MADIN, Andrew** [GB/GB]; Terlings Park, Eastwick

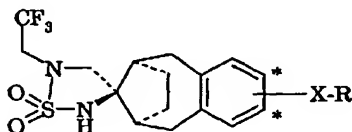
Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 03/093252 A1

(54) Title: HETEROARYL SUBSTITUTED SPIROCYCLIC SULFAMIDES FOR INHIBITION OF GAMMA SECRETASE



(I)

(57) Abstract: Compounds of formula I are disclosed: in which X is a 5-membered heteroaryl ring and R is as defined herein. The compounds are inhibitors of the processing of APP by gamma-secretase, and hence are useful in the treatment or prevention of Alzheimer's disease.